[PD1-11] [04/20/2001 (Fri) 13:30 - 14:30 / Hall 4]

Synthetic Approach to Natural Antioxidants: Benzastatin E, Benzastatin F and Benzastatin G

Thanh LN°, Yoo ID, Hong ND, Lee JH and Cho WJ

College of Pharmacy, Chonnam National University, Korea Research Institute of Bioscience & Biotechnology, Jakwang Institute, Han Kook Sin Yak

Benzastatins (E, F, G) that contain indoline skeleton are three of seven compounds isolated from culture broth of Streptomyces nitrosoporeus. Benzastatins (E, F, G) have been reported as first natural indoline derivatives to show inhibitory activity against glutamate toxicity and strong antioxidant activity (lipid peroxydation inhibition in rat liver microsomes). Aiming at the structure-activity relationship study and development of antioxidants, we tried to synthesize benzastatins (E, F, G) and their analogues. We report here the synthetic method of indole structure via cyclization of ethyl-3-(2-nitrophenyl)-2-propeonate with/without substituent at 5 position and attempts to synthesize alkene side chain of benzastatins (E, F, G).

[PD1-12] [04/20/2001 (Fri) 13:30 - 14:30 / Hall 4]

Design and Synthesis of fluorocyclopropanoid Nucleosides

Lee YR, Park JH°, Kim HD

College of Parmacy, Sookmyung Women's University

Novel fluorocyclopropanoid nucleoside analogues with three fuctionaliti-
es were designed and synthesized. First, cyclopropyl group possesses a hybrid character of acyclic chain and carbocyclic moiety and controls the conformation. Second, hydroxymethyl group was introduced for phosphorylation. And finally, fluorine mimics the electronic effect of the oxygen of natural nucleosides and controls the confromation by Gauche effect between fluorine and nitrogen of base.
The key intermediate, (±)-(E/Z)-[2-(tert-butyldiphenylsilanyl-oxymethyl)-1-fluorocyclopropyl] methanol was synthesized by the Lewis acid-
catalyzed Furukawa method of Simmon-Smith reaction starting from allyl alcohol. The fluorinated ester with E/Z configuration was synthesized as a major product by Horner-Wadsworth-Emmons olefination.
Mesylate or iodide was coupled with adenine, 2-amino-6-chloropurine, cytosine and thymine under NaH, K2CO3, Cs2CO3 and DBU conditions and resulted in novel (±)-(E/Z)-(1`-fluoro-2`-hydroxymethy-cyclopropylmethyl)purine analogues and pyrimidine analogues.

[PD1-13] [04/20/2001 (Fri) 13:30 - 14:30 / Hall 4]

Trimeric cinchona alkaloid phase-transfer catalyst: a,a',a"-Tris[O(9)-allylcinchonidinium]mesitylene tribromide

Hyeung-geun Park,* Byeong-seon Jeong°, Mi-sook Yoo, Mi-kyoung Park, Hoon Huh and Sang-sup